

Application No.: 10/014,950

Amendment and Response dated December 24, 2003

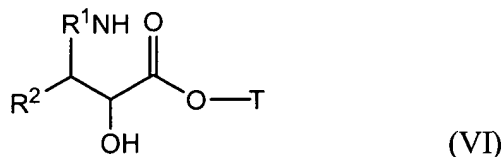
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**Amendments to the Claims:**

1. (Original) A method for the preparation of a compound of the following formula VI or salt thereof:



where

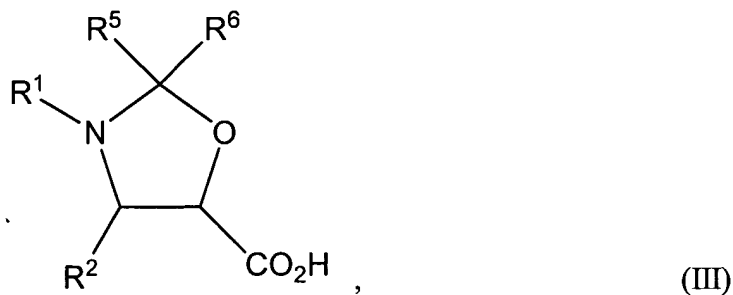
R<sup>1</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

R<sup>2</sup> is aryl, heterocyclo or alkyl; and

T is a taxane moiety directly bonded at C-13 of said moiety;

comprising the steps of:

- (a) contacting a compound of the following formula III or salt thereof:



where

R<sup>1</sup> and R<sup>2</sup> are as defined above; and

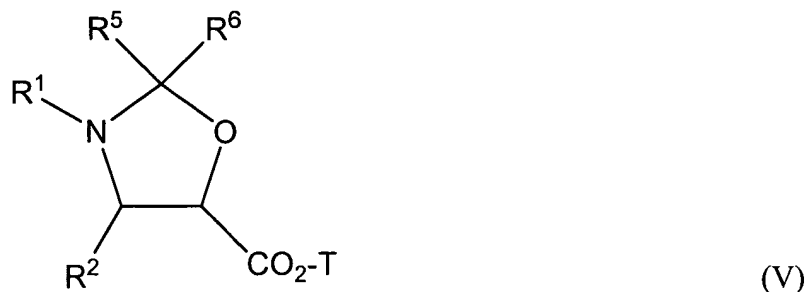
R<sup>5</sup> and R<sup>6</sup> are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;

with a compound of the following formula IV or salt thereof:



where T is as defined above, in the presence of a coupling agent, to form a compound of

the following formula V or salt thereof:



where R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, R<sup>6</sup> and T are as defined above; and

(b) contacting said compound of the formula V or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

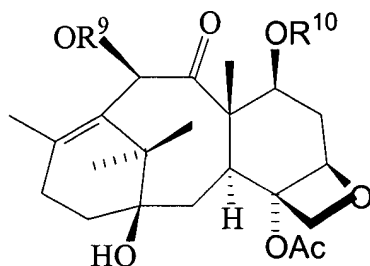
2. (Original) The method of claim 1, wherein

R<sup>1</sup> is arylcarbonyl or alkyloxycarbonyl;

R<sup>2</sup> is phenyl, thienyl or furyl;

R<sup>5</sup> and R<sup>6</sup> are each independently unsubstituted lower alkyl; and

T is the moiety:



where R<sup>9</sup> is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

R<sup>10</sup> is hydrogen or a hydroxyl protecting group.

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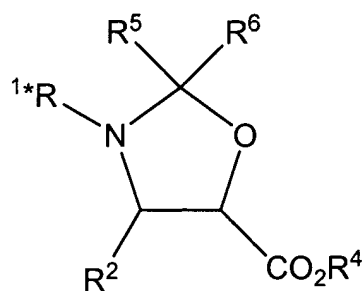
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3. (Original) The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the aforementioned compounds are employed together with an amine.
4. (Original) The method of claim 1, wherein said ring-opening agent is a Lewis acid.
5. (Original) The method of claim 4, wherein said Lewis acid is  $\text{Pd}(\text{CH}_3\text{CN})_2\text{Cl}_2$ .
6. (Original) The method of claim 1, wherein said compound of the formula VI is paclitaxel.
7. (Original) The method of claim 1, wherein  $\text{R}^1$  is the group  $\text{R}^{1*}$  in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula I or salt thereof:



where  $\text{R}^2$ ,  $\text{R}^5$  and  $\text{R}^6$  are as defined above;

$\text{R}^4$  is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

$\text{R}^{1*}$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that  $\text{R}^{1*}$  is not tert-butoxycarbonyl when  $\text{R}^2$  is aryl; with a hydrolyzing agent.

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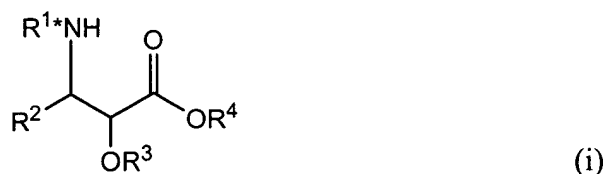
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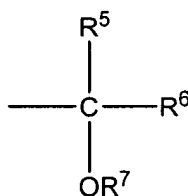
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8. (Original) The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

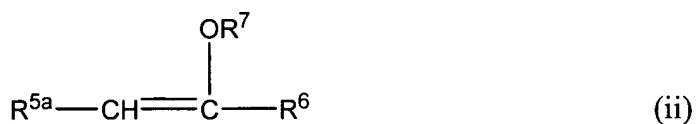


where  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^4$  are as defined above; and  $\text{R}^3$  is hydrogen or the group  $\text{R}^{3\text{P}}$ , where  $\text{R}^{3\text{P}}$  is the group:



where  $\text{R}^5$  and  $\text{R}^6$  are as defined above, and  $\text{R}^7$  is alkyl or aryl;

with an acid catalyst, and additionally, where  $\text{R}^3$  is hydrogen, with a compound of the formula ii or iii:



where  $\text{R}^5$ ,  $\text{R}^6$  and  $\text{R}^7$  are as defined above, and where  $\text{R}^{5\text{a}}$  (i) is a group such that  $\text{R}^{5\text{a}}--$

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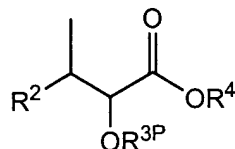
CH<sub>2</sub>-- is R<sup>5</sup> or (ii) forms, together with R<sup>6</sup> and the atoms to which R<sup>5a</sup> and R<sup>6</sup> are bonded, a cycloalkenyl or heterocyclo group containing at least one carbon to carbon double bond.

9-12. (Cancelled)

13. (withdrawn) A compound of the following formula iv or salt thereof:



where R<sup>1\*</sup> is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that R<sup>1\*</sup> is not tert-butoxycarbonyl when R<sup>2</sup> is aryl; R<sup>2</sup> is aryl, heterocyclo or alkyl; R<sup>4</sup> is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and R<sup>3P</sup> is the group:



where R<sup>5</sup> and R<sup>6</sup> are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and R<sup>7</sup> is alkyl or aryl.

14-25. (Cancelled)

26. (withdrawn) A compound of the following formula v or salt thereof:

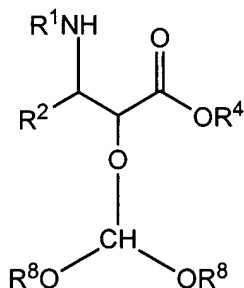
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where  $R^1$  is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;  $R^2$  is aryl, heterocyclo or alkyl;  $R^4$  is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and  $R^8$  is alkyl or aryl.